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Isolated salivary glyco-protein CON-1 and CON-2 compositions - which have alpha-glucosidase inhibitory activity, useful for treating diabetes or retrovirus, particularly HIV infection

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Number of Countries: 067 Number of Patents: 003

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US 5981720 A CO8H-001/00 Provisional application US 9624712

Abstract (Basic): WO 9809981 A

Recombinant DNA molecule (A) comprises a promoter operably linked to a CON-1 encoding sequence.

Also claimed are:

- (1) a recombinant DNA molecule (B) comprising a promoter operably linked to a CON-2 encoding sequence;
 - (2) purified protease-free CON-1 having 124 amino acid residues;
 - (3) purified protease-free CON-1 having 82 amino acid residues;
 - (4) a method of purifying CON-1 or CON-2 comprising:
- (a) heating a CON-1 or CON-2 containing mixture of proteins to denature any proteases contained in it;
- (b) precipitating contaminants by the addition of alcohol and recovering the supernatant;
- (c) sorbing protein recovered from the supernatant to hydroxyapatite and eluting CON-1 or CON-2;
 - (d) electro-phoresing on a denaturing gel, and
 - (e) eluting CON-1 or CON-2 from the gel;
- (5) a method of reducing infectivity of retroviruses comprising contacting the retroviruses with a protein selected from purified CON-1, CON-2, or fragments, to inhibit alpha -glucosidase (AGS) processing of the retroviral envelope protein to make the retrovirus cell penetration competent;
- (6) a method of alleviating excess uptake glucose in diabetes by administering to a diabetic purified CON-1, CON-2, or bioactive fragments retaining AGS inhibitory activity, to inhibit the breakdown of complex carbohydrates to absorbable glucose by the AGS inhibitory activity of the CON-1, CON-2 or bioactive fragments;
 - (7) an oral composition for alleviating excess uptake of simple

sugars in diabetes comprising CON-1, CON-2, or bioactive fragments retaining AGS inhibitory activity in a dose encapsulated in an enteric coating;

- (8) an injectable composition for inhibiting proliferation of HIV-1 comprising a bioactive fragment of CON-1 or CON-2 having AGS inhibitory activity, dissolved in diluent;
- (9) a synthetic glycosylated peptide having the AGS inhibitory activity of CON-1 protein comprising a tetrapeptide of primary structure of formula (I):

Gly-Gly-Asn(acetylglucosamine)-Lys (I);

- (10) a carrier glycosylated tetrapeptide comprising a tetrapeptide of structure (I), and a carrier, and
- (11) a synthetic glycosylated pyridoxylated peptide having an enhanced AGS inhibitory activity compared to the inhibitory activity of the unmodified peptide comprising a tetrapeptide of primary structure of formula (II):

Gly-Gly-Asn(acetyl-glycosamine)-pyridoxyl-Lys (II).

USE - The salivary glycoproteins CON-1 and CON-2 and derivatives, have AGS inhibitory activity and can be used to treat patients with diabetes or patients infected with retroviruses such as HIV.

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Derwent Class: B04; D16

International Patent Class (Main): C07H-021/04; C08H-001/00

International Patent Class (Additional): A61K-038/00; C07K-001/00;

C07K-001/16; C07K-001/30; C07K-005/00; C07K-014/00

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Delayed and sustained release compositions for absorption in colon-comprise active ingredient e.g. diamorphine or cocaine in e.g. tablet form with enteric coating

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Inventor: EVANS B K; RHODES J

Number of Countries: 078 Number of Patents: 002

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Abstract (Basig): WO 9802148 A

Rectally administrable and post-gastric delayed release oral composition comprises at least one active ingredient (I) selected from diamorphine, morphine, cocaine, theophylline, aminophylline, phenytoin, carbamazepine, phenobarbitone, cyclosporin, diazepam, nitrazepam,